NOVEL PENICILLIN

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Inventor(s):

SAIKAWA ISAMU; others: 08

Applicant(s):

TOYAMA KAGAKU KOGYO KK

Requested Patent:

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Application Number: JP19810188407 19811126

Priority Number(s):

IPC Classification:

C07D499/68; A61K31/43

EC Classification:

Equivalents:

Abstract

NEW MATERIAL:A compound expressed by formulal(R is an amino acid residue; R<1> is H, a group for forming a protecting group, etc.; X is O and linked to the 2- or the 3-position of the piperazine ring; m is 3; R<2> and R<3> are linked to the same carbon atom; R<2>m and R<3>m are H, alkyl, etc.; A is H or alkyl, alkenyl, etc. which may have a substituent group, etc.). EXAMPLE:6-[D(-)-alpha-(4-n-Hexyl-2-oxo-1-piperazinocarbonylamino)phenylacetamido]peniicillanic acid. USE:An antimicrobial agent, having a wide antimicrobial spectrum against Gram-positive and Gram-negative bacteria, and particularly effective against Pseudomonas aeruginosa, Klebsiella pneumoniae and myxomycetes and further against drug-resistant germs. PROCESS:A compound expressed by formula II (R<6> is H, silyl, etc.; R<7> is H, a group for forming a protecting group, etc.) is reacted with a reactive derivative at the carboxyl group of a compound expressed by formula III to give the aimed compound expressed by formulal.

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PENICILLINS AND CEPHALOSPORINS AND PROCESS FOR PRODUCING THE SAME						
Patent Number:	□ <u>GB1508062</u>					
Publication date:	1978-04-19					
Inventor(s):	KOMATSU M;; MOMONOI K;; SAIKAWA I;; TAKASHIMA;; YOSHIDA C;; KODAMA;; KURODA S;; TAKANO S;; YASUDA T					
Applicant(s):	TOYAMA CHEMICAL CO LTD					
Requested Patent:	□ <u>DE2519400</u>					
Application Number:	GB19750017557 19750428					
Priority Number(s):	JP19750037207 19750327; JP19740050663 19740509; JP19740052254 19740513; JP19740060787 19740531; JP19740091996 19740813; JP19740109954 19740926; JP19740142499 19741213					
IPC Classification:	C07D499/64; A61K31/43; A61K31/545; C07D501/20					
EC Classification:	C07D499/00					
Equivalents:	AR209607, AT344906B, AU8043175, BE828692, CH605995, CY1026,					
	\square DD117882, DE2560239, \square DK151338B, DK151338C, DK201975, \square FI63760B,					
	□ <u>FI63760C</u> , FI751340, □ <u>FR2269937</u> , □ <u>FR2320295</u> , □ <u>GB1508064</u> , HK26279,					
	HU169633, IL47168, KE2923, NL162386B, D NL162386C, D NL7505375, PH20534,					
	PH21545, PH21987, PH22336, PH22346, D SE431457, D SE435062					
Abstract						
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L3 ANSWER 2 OF 2 CA COPYRIGHT 2003 ACS

AN 85:33052 CA Full-text

TI Penicillin and cephalosporin derivatives

IN Saikawa, Isamu; Takano, Shuntaro; Yoshida, Chosaku; Takashima, Okuta; Momonoi, Kaishu;

Kuroda, Seietsu; Komatsu, Miwako; Yasuda, Takashi; Kodama, Yutaka

PA Toyama Chemical Co., Ltd., Japan

SO Ger. Offen., 237 pp.

LA German FAN.CNT 5

LA	German FAN.CNT 5					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE2519400	A1	19760304	1975DE-2519400	19750430	
	DE2519400	В2	19810521			
	DE2519400	C3	19820211			
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	ES454267	A1	19771216	1976ES-0454267	19761215	
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PRAI	1974JP-0050663		19740509	1974JP-0052254	19740513	
	1974JP-0060787		19740531	1974JP-0091996	19740813	
	1974JP-0109954		19740926	1974JP-0142499	19741213	
	1975JP-0037207		19750327	1975AT-0003511	19750507	
	1976US-0654060		19760130	1978US-0915873	19780615	

GI

RCONHCHPhCONH
$$O$$
 N Me CO_2R^1 I CO_2R^2 CO_2R^2 CO_2R^2 I I

AB Acylaminobenzylpenams I and -cephems II (R = substituted oxopiperazino; R1 = H, Na, ester; R2 = H, OAc, heterocyclic thiol) (164 compds.) were prepared by acylating aminobenzylpenams and -cephems. Thus 1-acetyl-3- oxopiperazine was treated with COC12 and used to acylate ampicillin to I (R = 4-acetyl-2-oxopiperazino, R1 = Na).